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IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

Applicant : Adnan.M.M. Mjalli et. al.  
Ser. No. : 10/091,759  
Filing Date : March 5, 2002  
For : CARBOXAMIDE DERIVATIVES AS THERAPEUTIC AGENTS  
Examiner : Zina Northington-Davis  
Art Unit : 1625

COMMISSIONER FOR PATENTS  
P.O. Box 1450  
Alexandria, VA 22313-1450

**Preliminary Amendment, Election and Response**

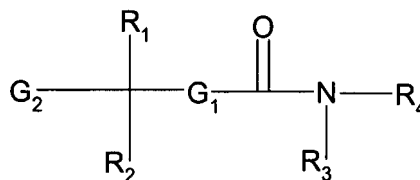
Sir:

This Response is being submitted in response to the Office Action mailed April 10, 2003. Applicants are required to elect a single disclosed species for examination and to list claims readable thereon.

Applicants respectfully request entry of the following amendments to claims 12, 13, 18, 19, 20, 21 and 22.

Applicants respectfully request cancellation of claims 8, 9 and 10 without prejudice to or disclaimer of the subject matter contained therein.

1. (Original) A compound of Formula (I):



(I)

wherein

G<sub>1</sub> comprises C<sub>1</sub>-C<sub>6</sub> alkylene or (CH<sub>2</sub>)<sub>k</sub>, where k is 0 to 3;

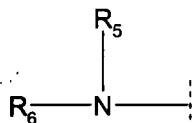
G<sub>2</sub> comprises a) hydrogen

b) -C<sub>1-6</sub> alkyl;

c) -aryl;

d) -C<sub>1-6</sub> alkylaryl ;

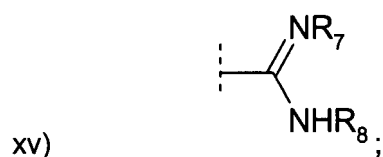
e)



where R<sub>5</sub> and R<sub>6</sub> independently comprise

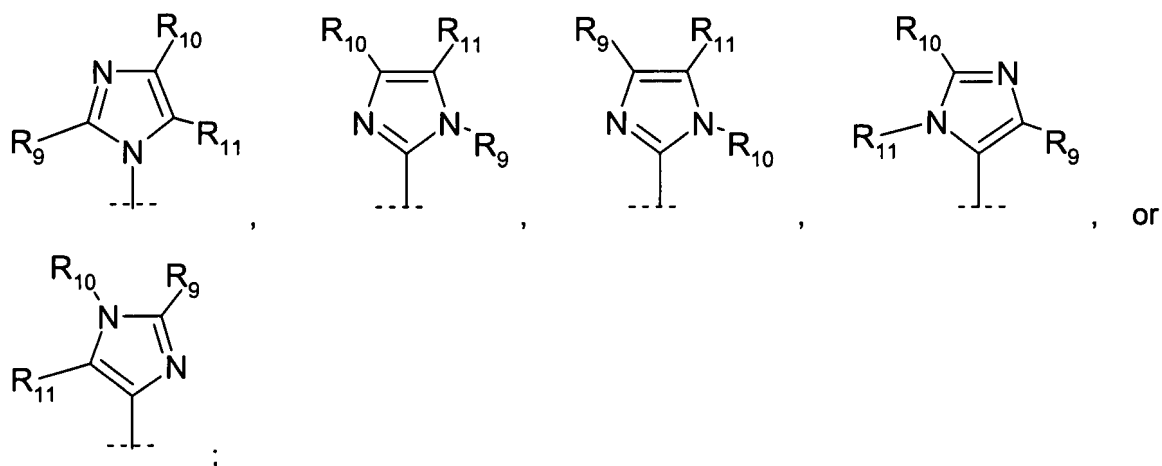
- i) -H;
- ii) -C<sub>1-6</sub> alkyl;
- iii) -aryl;
- iv) -C<sub>1-6</sub> alkylaryl;
- v) -C(O)-O-C<sub>1-6</sub> alkyl;
- vi) -C(O)-O-C<sub>1-6</sub> alkylaryl;
- vii) -C(O)-O-C<sub>1-6</sub> alkylcycloalkylaryl;

- viii)  $-\text{C}(\text{O})-\text{NH}-\text{C}_{1-6}$  alkyl;
- ix)  $-\text{C}(\text{O})-\text{NH}-\text{C}_{1-6}$  alkylaryl;
- x)  $-\text{SO}_2-\text{C}_{1-6}$  alkyl;
- xi)  $-\text{SO}_2-\text{C}_{1-6}$  alkylaryl;
- xii)  $-\text{SO}_2$ -aryl;
- xiii)  $-\text{SO}_2-\text{NH}-\text{C}_{1-6}$  alkyl;
- xiv)  $-\text{SO}_2-\text{NH}-\text{C}_{1-6}$  alkylaryl;



- xvi)  $-\text{C}(\text{O})-\text{C}_{1-6}$  alkyl; or
- xvii)  $-\text{C}(\text{O})-\text{C}_{1-6}$  alkylaryl; or

f) a group of the formula



wherein

$\text{R}_9$ ,  $\text{R}_{10}$ , and  $\text{R}_{11}$  may comprise hydrogen; or

$\text{R}_9$ ,  $\text{R}_{10}$ , and  $\text{R}_{11}$  independently comprise

- i) -C<sub>1-6</sub> alkyl;
- ii) -aryl;
- iii) -C<sub>1-6</sub> alkylaryl;
- iv) -C(O)-O-C<sub>1-6</sub> alkyl;
- v) -C(O)-O-C<sub>1-6</sub> alkylaryl;
- vi) -C(O)-NH-C<sub>1-6</sub> alkyl;
- vii) -C(O)-NH-C<sub>1-6</sub> alkylaryl;
- viii) -SO<sub>2</sub>-C<sub>1-6</sub> alkyl;
- ix) -SO<sub>2</sub>-C<sub>1-6</sub> alkylaryl;
- x) -SO<sub>2</sub>-aryl;
- xi) -SO<sub>2</sub>-NH-C<sub>1-6</sub> alkyl;
- xii) -SO<sub>2</sub>-NH-C<sub>1-6</sub> alkylaryl;
- xiii) -C(O)-C<sub>1-6</sub> alkyl; or
- xiv) -C(O)-C<sub>1-6</sub> alkylaryl;

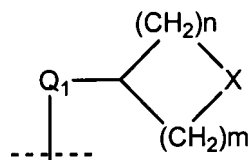
R<sub>10</sub> and R<sub>11</sub> may be taken together to constitute a fused cycloalkyl, fused heterocyclyl, or fused aryl ring containing the atoms to which R<sub>10</sub> and R<sub>11</sub> are bonded;

R<sub>1</sub> comprises

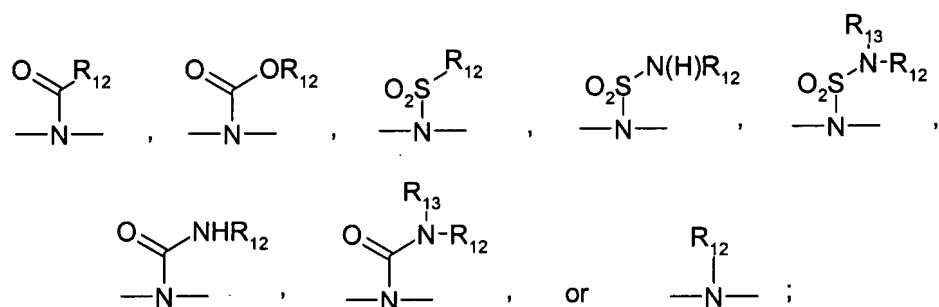
- a) hydrogen;
- b) -C<sub>1-6</sub> alkyl;
- c) -aryl; or
- d) -C<sub>1-6</sub> alkylaryl;

R<sub>2</sub> comprises

- a) -C<sub>1-6</sub> alkyl;
- b) -aryl;
- c) -C<sub>1-6</sub> alkylaryl; or
- d) a group of the formula



wherein m and n are independently selected from 1, 2, 3, or 4; X comprises a direct bond, CH<sub>2</sub>-, -O-, -S-, -S(O<sub>2</sub>)-, -C(O)-, -CON(H)-, -NHC(O)-, -NHCON(H)-, -NHSO<sub>2</sub>-, -SO<sub>2</sub>N(H)-, -C(O)-O-, -O-C(O)-, -NHSO<sub>2</sub>NH-,



-Q<sub>1</sub>- comprises C<sub>1-6</sub> alkylene, C<sub>2-6</sub> alkenylene, or C<sub>2-6</sub> alkynylene;

R<sub>3</sub> comprises

- a) hydrogen;
- b) -C<sub>1-6</sub> alkyl;
- c) -C<sub>1-6</sub> alkylaryl; or
- d) -C<sub>1-6</sub> alkoxyaryl;

R<sub>4</sub> comprises

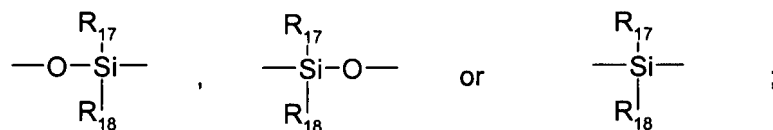
- a) -C<sub>1-6</sub> alkylaryl;
- b) -C<sub>1-6</sub> alkoxyaryl; or
- c) -aryl;

R<sub>7</sub>, R<sub>8</sub>, R<sub>12</sub> and R<sub>13</sub> independently comprise hydrogen, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkylaryl, or aryl; and wherein

the aryl and/or alkyl group(s) in R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub>, R<sub>7</sub>, R<sub>8</sub>, R<sub>9</sub>, R<sub>10</sub>, R<sub>11</sub>, R<sub>12</sub>, and R<sub>13</sub> may be optionally substituted 1-4 times with a substituent group, wherein said substituent group(s) or the term substituted refers to groups comprising:

- a) -H;
- b) -Y-C<sub>1-6</sub> alkyl;  
 -Y-aryl;  
 -Y-C<sub>1-6</sub> alkylaryl;  
 -Y-C<sub>1-6</sub>-alkyl-NR<sub>14</sub>R<sub>15</sub>;  
 -Y-C<sub>1-6</sub>-alkyl-W-R<sub>16</sub>;

wherein Y and W independently comprise -CH<sub>2</sub>-, -O-, -N(H)-, -S-, SO<sub>2</sub>-, -CON(H)-, -NHC(O)-, -NHCON(H)-, -NHSO<sub>2</sub>-, -SO<sub>2</sub>N(H)-, -C(O)-O-, -NHSO<sub>2</sub>NH-, -O-CO-,

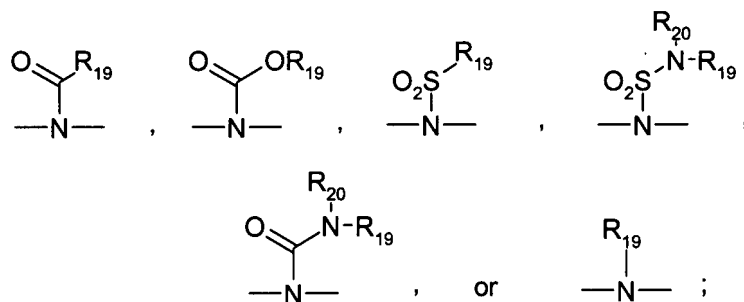


R<sub>16</sub>, R<sub>17</sub>, and R<sub>18</sub> comprise hydrogen, aryl, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkylaryl, C<sub>1</sub>-C<sub>6</sub> alkoxy, or C<sub>1</sub>-C<sub>6</sub> alkoxyaryl; or

- c) halogen, hydroxyl, cyano, carbamoyl, or carboxyl; and

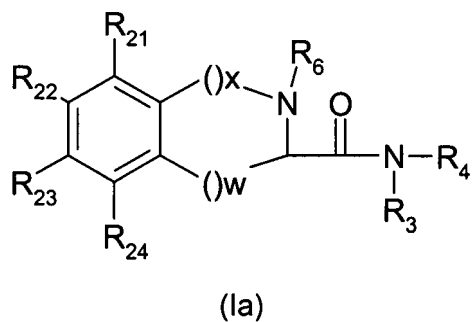
R<sub>14</sub> and R<sub>15</sub> independently comprise hydrogen, aryl, C<sub>1</sub>-C<sub>6</sub> alkyl, or C<sub>1</sub>-C<sub>6</sub> alkylaryl; and wherein

R<sub>14</sub> and R<sub>15</sub> may be taken together to form a ring having the formula -(CH<sub>2</sub>)<sub>o</sub>-Z-(CH<sub>2</sub>)<sub>p</sub>- bonded to the nitrogen atom to which R<sub>14</sub> and R<sub>15</sub> are attached, and/or R<sub>7</sub> and R<sub>8</sub> may, independently, be taken together to form a ring having the formula -(CH<sub>2</sub>)<sub>o</sub>-Z-(CH<sub>2</sub>)<sub>p</sub>- bonded to the atoms to which R<sub>7</sub> and R<sub>8</sub> are attached, wherein o and p are, independently, 1, 2, 3, or 4; Z comprises a direct bond, -CH<sub>2</sub>-, -O-, -S-, -S(O<sub>2</sub>)-, -C(O)-, -CON(H)-, -NHC(O)-, -NHCON(H)-, -NHSO<sub>2</sub>-, -SO<sub>2</sub>N(H)-, -C(O)-O-, -O-C(O)-, -NHSO<sub>2</sub>NH-,

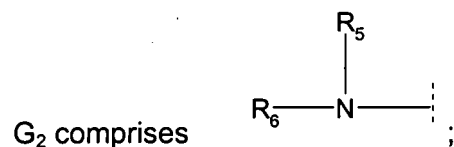


R<sub>19</sub> and R<sub>20</sub> independently comprise hydrogen, aryl, C<sub>1</sub>-C<sub>6</sub> alkyl, or C<sub>1</sub>-C<sub>6</sub> alkylaryl.

2. (Original) The compound of claim 1, represented by Formula (Ia)



wherein G<sub>1</sub> comprises a direct bond;



R<sub>1</sub> comprises H;

( ) comprises a -CH<sub>2</sub>- group or a direct covalent bond, and x and w are independently equal to 0 to 2, with the proviso that x and w can not both be equal to 0;

R<sub>3</sub> comprises

- a) hydrogen;
- b) -C<sub>1-6</sub> alkyl;

- c)  $-C_{1-6}$  alkylaryl; or
- d)  $-C_{1-6}$  alkoxyaryl;

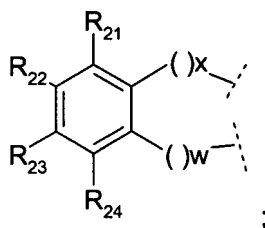
$R_4$  comprises

- a)  $-C_{1-6}$  alkylaryl;
- b)  $-C_{1-6}$  alkoxyaryl; or
- c)  $-aryl$ ;

$R_6$  comprises

- a)  $-H$ ;
- b)  $-C_{1-6}$  alkyl;
- c)  $-aryl$ ;
- d)  $-C_{1-6}$  alkylaryl; or
- e) a group selected from  $-C(O)R_{25}$ ,  $-C(O)OR_{25}$ ,  $-C(O)NR_{26}R_{25}$ ,  $-S(O)_2R_{25}$ , and  $-S(O)_2NR_{26}R_{25}$ ; wherein  $R_{25}$  and  $R_{26}$  independently comprise  $-C_{1-6}$  alkyl, aryl, or  $-C_{1-6}$  alkylaryl;

$R_5$  and  $R_2$  are taken together to form a ring of structure



wherein  $R_{21}$ ,  $R_{22}$ ,  $R_{23}$  and  $R_{24}$  independently comprise

- i)  $-H$ ;
- ii)  $-C_{1-6}$  alkyl;
- iii)  $-aryl$ ;
- iv)  $-C_{1-6}$  alkylaryl; or
- v) a group of the formula  $-U-R_{27}$ , wherein  $U$  comprises  $-C(O)-$ ,  $-C(O)O-$ ,  $-O-$ ,  $-S-$ ,  $-S(O)-$ ,  $-S(O)_2-$ , or  $-NR_{28}-$ ,

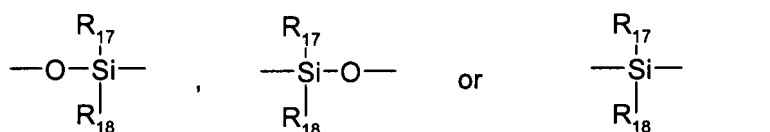


wherein  $R_{27}$  and  $R_{28}$  independently comprise -H, -aryl, -C<sub>1-6</sub> alkyl, or -C<sub>1-6</sub> alkylaryl;

the aryl and/or alkyl group(s) in  $R_3$ ,  $R_4$ , and  $R_6$  may be optionally substituted 1-4 times with a substituent group, wherein said substituent group(s) or the term substituted refers to groups comprising:

- a) -H;
- b) -Y-C<sub>1-6</sub> alkyl;  
 -Y-aryl;  
 -Y-C<sub>1-6</sub> alkylaryl;  
 -Y-C<sub>1-6</sub>-alkyl-NR<sub>14</sub>R<sub>15</sub>;  
 -Y-C<sub>1-6</sub>-alkyl-W-R<sub>16</sub>;

wherein Y and W independently comprise -CH<sub>2</sub>-, -O-, -N(H)-, -S-, SO<sub>2</sub>-, -CON(H)-, -NHC(O)-, -NHCON(H)-, -NHCO<sub>2</sub>-, -SO<sub>2</sub>N(H)-, -C(O)-O-, -NHSO<sub>2</sub>NH-, -O-CO-,

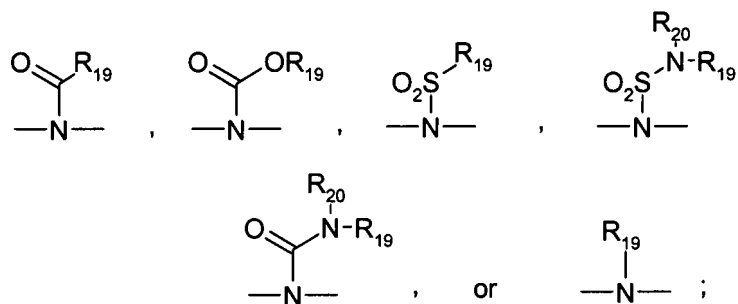


$R_{16}$ ,  $R_{17}$ , and  $R_{18}$  independently comprise hydrogen, aryl, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkylaryl, C<sub>1</sub>-C<sub>6</sub> alkoxy, or C<sub>1</sub>-C<sub>6</sub> alkoxyaryl; or

- c) halogen, hydroxyl, cyano, carbamoyl, or carboxyl; and

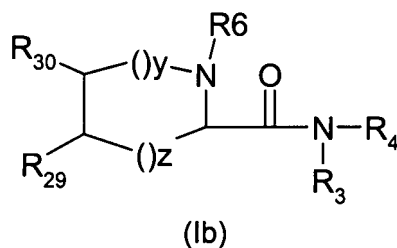
$R_{14}$  and  $R_{15}$  independently comprise hydrogen, aryl, C<sub>1</sub>-C<sub>6</sub> alkyl, and C<sub>1</sub>-C<sub>6</sub> alkylaryl; or wherein

$R_{14}$  and  $R_{15}$  may be taken together to form a ring having the formula -(CH<sub>2</sub>)<sub>o</sub>-Z-(CH<sub>2</sub>)<sub>p</sub>- bonded to the nitrogen atom to which  $R_{14}$  and  $R_{15}$  are attached, wherein o and p are, independently, 1, 2, 3, or 4; Z comprises a direct bond, -CH<sub>2</sub>-, -O-, -S-, -S(O<sub>2</sub>)-, -C(O)-, -CON(H)-, -NHC(O)-, -NHCON(H)-, -NHSO<sub>2</sub>-, -SO<sub>2</sub>N(H)-, -C(O)-O-, -O-C(O)-, -NHSO<sub>2</sub>NH-,



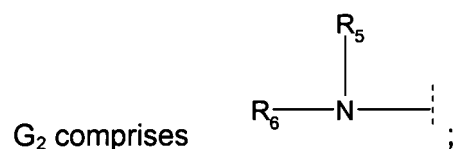
R<sub>19</sub> and R<sub>20</sub> comprise hydrogen, aryl, C<sub>1</sub>-C<sub>6</sub> alkyl, or C<sub>1</sub>-C<sub>6</sub> alkylaryl.

3. (Original) The compound of claim 1, represented by Formula (Ib)



wherein,

G<sub>1</sub> comprises a direct bond;



R<sub>1</sub> comprises H;

( ) comprises a -CH<sub>2</sub>- group or a direct covalent bond, and y and z are, independently, an integer of from 0 to 3;

R<sub>3</sub> comprises

- a) hydrogen;
- b) -C<sub>1-6</sub> alkyl;
- c) -C<sub>1-6</sub> alkylaryl; or
- d) -C<sub>1-6</sub> alkoxyaryl;

R<sub>4</sub> comprises

- a) -C<sub>1-6</sub> alkylaryl;
- b) -C<sub>1-6</sub> alkoxyaryl; or
- c) -aryl;

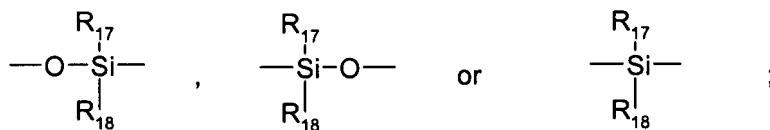
R<sub>6</sub> comprises

- a) -H;
- b) -C<sub>1-6</sub> alkyl;
- c) -aryl;
- d) -C<sub>1-6</sub> alkylaryl; or
- e) a group selected from -C(O)R<sub>25</sub>, -C(O)OR<sub>25</sub>, -C(O)NR<sub>26</sub>R<sub>25</sub>, -S(O)<sub>2</sub>R<sub>25</sub>, and -S(O)<sub>2</sub>NR<sub>26</sub>R<sub>25</sub>; wherein R<sub>25</sub> and R<sub>26</sub> independently comprise -C<sub>1-6</sub> alkyl, aryl, or -C<sub>1-6</sub> alkylaryl;

the aryl and/or alkyl group(s) in R<sub>3</sub>, R<sub>4</sub>, and R<sub>6</sub> may be optionally substituted 1-4 times with a substituent group, wherein said substituent group(s) or the term substituted refers to groups comprising:

- a) -H;
- b) -Y-C<sub>1-6</sub> alkyl;  
 -Y-aryl;  
 -Y-C<sub>1-6</sub> alkylaryl;  
 -Y-C<sub>1-6</sub>-alkyl-NR<sub>14</sub>R<sub>15</sub>;  
 -Y-C<sub>1-6</sub>-alkyl-W-R<sub>16</sub>;

wherein Y and W independently comprise -CH<sub>2</sub>-, -O-, -N(H), -S-, SO<sub>2</sub>-, -CON(H)-, -NHC(O)-, -NHCON(H)-, -NHCO<sub>2</sub>-, -SO<sub>2</sub>N(H)-, -C(O)-O-, -NHCO<sub>2</sub>NH-, -O-CO-,

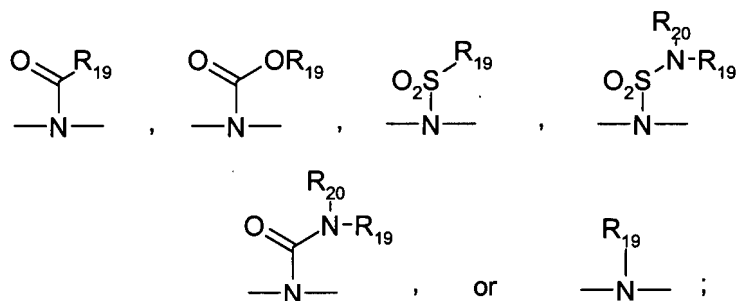


$R_{16}$ ,  $R_{17}$ , and  $R_{18}$  comprise hydrogen, aryl,  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_6$  alkylaryl,  $C_1$ - $C_6$  alkoxy, or  $C_1$ - $C_6$  alkoxyaryl; or

c) halogen, hydroxyl, cyano, carbamoyl, or carboxyl; and

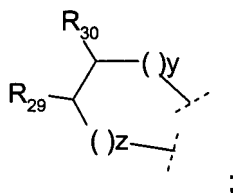
$R_{14}$  and  $R_{15}$  independently comprise hydrogen, aryl,  $C_1$ - $C_6$  alkyl, or  $C_1$ - $C_6$  alkylaryl; and wherein

$R_{14}$  and  $R_{15}$  may be taken together to form a ring having the formula  $-(CH_2)_o-Z-(CH_2)_p-$  bonded to the nitrogen atom to which  $R_{14}$  and  $R_{15}$  are attached, wherein  $o$  and  $p$  are, independently, 1, 2, 3, or 4;  $Z$  comprises a direct bond,  $-CH_2-$ ,  $-O-$ ,  $-S-$ ,  $-S(O_2)-$ ,  $-C(O)-$ ,  $-CON(H)-$ ,  $-NHC(O)-$ ,  $-NHCON(H)-$ ,  $-NHSO_2-$ ,  $-SO_2N(H)-$ ,  $-C(O)-O-$ ,  $-O-C(O)-$ ,  $-NHSO_2NH-$ ,



$R_{19}$  and  $R_{20}$  comprise hydrogen, aryl,  $C_1$ - $C_6$  alkyl, or  $C_1$ - $C_6$  alkylaryl;

$R_5$  and  $R_2$  are taken together to form a ring of structure



wherein  $R_{29}$  and  $R_{30}$  independently comprise

- $-H$
- $-C_{1-6}$  alkyl;
- $-aryl$ ;
- $-C_{1-6}$  alkylaryl;
- $-C(O)-O-C_{1-6}$  alkyl;

- f)  $-\text{C}(\text{O})-\text{O}-\text{C}_{1-6}$  alkylaryl;
- g)  $-\text{C}(\text{O})-\text{NH}-\text{C}_{1-6}$  alkyl;
- h)  $-\text{C}(\text{O})-\text{NH}-\text{C}_{1-6}$  alkylaryl;
- i)  $-\text{SO}_2-\text{C}_{1-6}$  alkyl;
- j)  $-\text{SO}_2-\text{C}_{1-6}$  alkylaryl;
- k)  $-\text{SO}_2$ -aryl;
- l)  $-\text{SO}_2-\text{NH}-\text{C}_{1-6}$  alkyl;
- m)  $-\text{SO}_2-\text{NH}-\text{C}_{1-6}$  alkylaryl;
- n)  $-\text{C}(\text{O})-\text{C}_{1-6}$  alkyl;
- o)  $-\text{C}(\text{O})-\text{C}_{1-6}$  alkylaryl; or
- p) a group of the formula  $-\text{V}-\text{R}_{31}$ ,

wherein V comprises a group of the formula  $-\text{C}(\text{O})$ ,  $-\text{OC}(\text{O})-$ ,  $-\text{O}-$ ,  $-\text{S}-$ ,  $-\text{S}(\text{O})-$ ,  $-\text{S}(\text{O}_2)-$ ,  $-\text{NH}-$ , or  $-\text{N}(\text{R}_{32})-$ ;

wherein  $\text{R}_{31}$  and  $\text{R}_{32}$  comprise

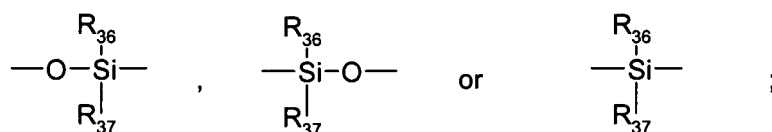
- i)  $-\text{H}$
- ii)  $-\text{C}_{1-6}$  alkyl;
- iii)  $-\text{aryl}$ ;
- iv)  $-\text{C}_{1-6}$  alkylaryl;
- v)  $-\text{C}(\text{O})-\text{O}-\text{C}_{1-6}$  alkyl;
- vi)  $-\text{C}(\text{O})-\text{O}-\text{C}_{1-6}$  alkylaryl;
- vii)  $-\text{C}(\text{O})-\text{NH}-\text{C}_{1-6}$  alkyl;  $-\text{C}(\text{O})-\text{NH}-\text{C}_{1-6}$  alkylaryl;
- viii)  $-\text{SO}_2-\text{C}_{1-6}$  alkyl;
- ix)  $-\text{SO}_2-\text{C}_{1-6}$  alkylaryl;
- x)  $-\text{SO}_2$ -aryl;
- xi)  $-\text{SO}_2-\text{NH}-\text{C}_{1-6}$  alkyl;
- xii)  $-\text{SO}_2-\text{NH}-\text{C}_{1-6}$  alkylaryl;
- xiii)  $-\text{C}(\text{O})-\text{C}_{1-6}$  alkyl; or
- xiv)  $-\text{C}(\text{O})-\text{C}_{1-6}$  alkylaryl;

wherein  $\text{R}_{29}$ ,  $\text{R}_{30}$ ,  $\text{R}_{31}$ , and  $\text{R}_{32}$  may be optionally substituted 1-4 times with a substituent group, wherein said substituent group(s) or the term substituted refers to groups comprising:

- a)  $-\text{H}$ ;

- b) -L-C<sub>1-6</sub> alkyl;  
 -L-aryl;  
 -L-C<sub>1-6</sub> alkylaryl;  
 -L-C<sub>1-6</sub>-alkyl-NR<sub>33</sub>R<sub>34</sub>;  
 -L-C<sub>1-6</sub> alkyl-Q<sub>2</sub>-R<sub>35</sub>;

wherein L and Q<sub>2</sub> independently comprise -CH<sub>2</sub>-, -O-, -N(H)-, -S-,  
 SO<sub>2</sub>-, -CON(H)-, -NHC(O)-, -NHCON(H)-, -NHSO<sub>2</sub>-, -  
 SO<sub>2</sub>N(H)-, -C(O)-O-, -NHSO<sub>2</sub>NH-, -O-CO-,

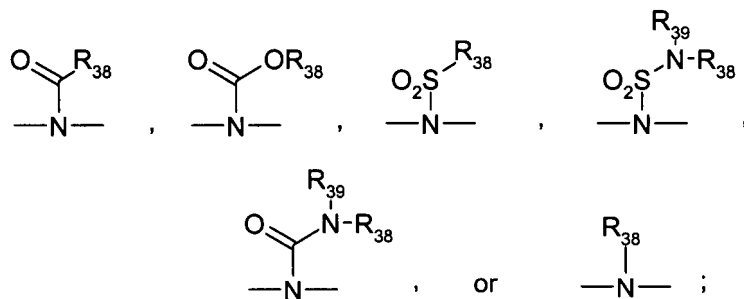


R<sub>35</sub>, R<sub>36</sub>, and R<sub>37</sub> comprise hydrogen, aryl, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkylaryl, C<sub>1</sub>-C<sub>6</sub> alkoxy, or C<sub>1</sub>-C<sub>6</sub> alkoxyaryl; or

- c) halogen, hydroxyl, cyano, carbamoyl, or carboxyl; and

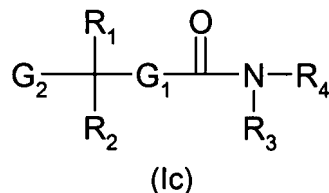
R<sub>33</sub> and R<sub>34</sub> independently comprise hydrogen, aryl, C<sub>1</sub>-C<sub>6</sub> alkyl, or C<sub>1</sub>-C<sub>6</sub> alkylaryl; and wherein

R<sub>33</sub> and R<sub>34</sub> may be taken together to form a ring having the formula -(CH<sub>2</sub>)<sub>e</sub>-J-(CH<sub>2</sub>)<sub>k</sub>- bonded to the nitrogen atom to which R<sub>33</sub> and R<sub>34</sub> are attached, wherein e and k are, independently, 1, 2, 3, or 4; J comprises a direct bond, -CH<sub>2</sub>-, -O-, -S-, -S(O<sub>2</sub>)-, -C(O)-, -CON(H)-, -NHC(O)-, -NHCON(H)-, -NHSO<sub>2</sub>-, -SO<sub>2</sub>N(H)-, -C(O)-O-, -O-C(O)-, -NHSO<sub>2</sub>NH-,



R<sub>38</sub> and R<sub>39</sub> comprises hydrogen, aryl, C<sub>1</sub>-C<sub>6</sub> alkyl, or C<sub>1</sub>-C<sub>6</sub> alkylaryl.

4. (Original) The compound of claim 1, represented by Formula (Ic):

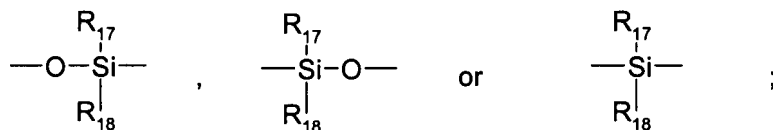


wherein,

R<sub>1</sub> comprises hydrogen, or C<sub>1-3</sub> alkylaryl wherein the aryl is substituted with -Y-C<sub>1-6</sub> alkylaryl;

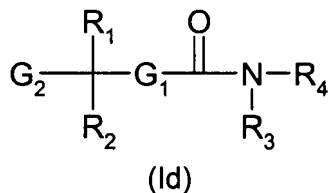
R<sub>2</sub> comprises C<sub>1-3</sub> alkylaryl wherein the aryl is substituted with -Y-C<sub>1-6</sub> alkylaryl,

wherein Y comprises -CH<sub>2</sub>-, -O-, -N(H)-, -S-, SO<sub>2</sub>-, -CON(H)-, -NHC(O)-, -NHCON(H)-, -NHSO<sub>2</sub>-, -SO<sub>2</sub>N(H)-, -C(O)-O-, -NHCO<sub>2</sub>NH-, -O-CO-,



R<sub>17</sub>, and R<sub>18</sub> independently comprises hydrogen, aryl, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkylaryl, C<sub>1</sub>-C<sub>6</sub> alkoxy, or C<sub>1</sub>-C<sub>6</sub> alkoxyaryl.

5. (Original) The compound of claim 1, represented by Formula (Id):

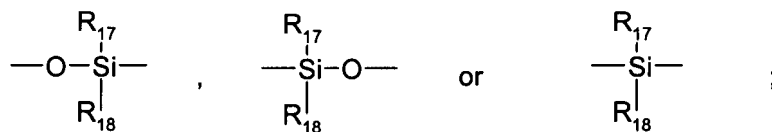


wherein,

R<sub>1</sub> comprises hydrogen, or C<sub>1-3</sub> alkylaryl wherein the aryl is substituted with -Y-C<sub>1-6</sub> alkylaryl;

R<sub>2</sub> comprises C<sub>1-3</sub> alkylaryl wherein the aryl is substituted with -Y-C<sub>1-6</sub> alkylaryl;

wherein Y comprises -CH<sub>2</sub>-, -O-, -N(H)-, -S-, SO<sub>2</sub>-, -CON(H)-, -NHC(O)-, -NHCON(H)-, -NHSO<sub>2</sub>-, -SO<sub>2</sub>N(H)-, -C(O)-O-, -NHSO<sub>2</sub>NH-, -O-CO-,

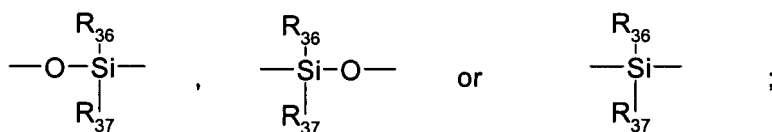


R<sub>17</sub>, and R<sub>18</sub> independently comprises hydrogen, aryl, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkylaryl, C<sub>1</sub>-C<sub>6</sub> alkoxy, or C<sub>1</sub>-C<sub>6</sub> alkoxyaryl;

R<sub>3</sub> comprises hydrogen or -L-C<sub>1-6</sub>-alkyl-N(alkyl)<sub>2</sub>;

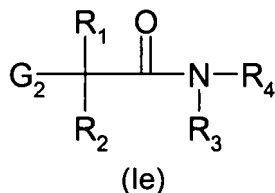
R<sub>4</sub> comprises -L-C<sub>1-6</sub>-alkyl-N(alkyl)<sub>2</sub>;

wherein L comprises -CH<sub>2</sub>-, -O-, -N(H)-, -S-, SO<sub>2</sub>-, -CON(H)-, -NHC(O)-, -NHCON(H)-, -NHSO<sub>2</sub>-, -SO<sub>2</sub>N(H)-, -C(O)-O-, -NHSO<sub>2</sub>NH-, -O-CO-,



R<sub>35</sub>, R<sub>36</sub>, and R<sub>37</sub> independently comprise hydrogen, aryl, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkylaryl, C<sub>1</sub>-C<sub>6</sub> alkoxy, or C<sub>1</sub>-C<sub>6</sub> alkoxyaryl.

6. (Original) The compound of claim 1, represented by Formula (Ie):

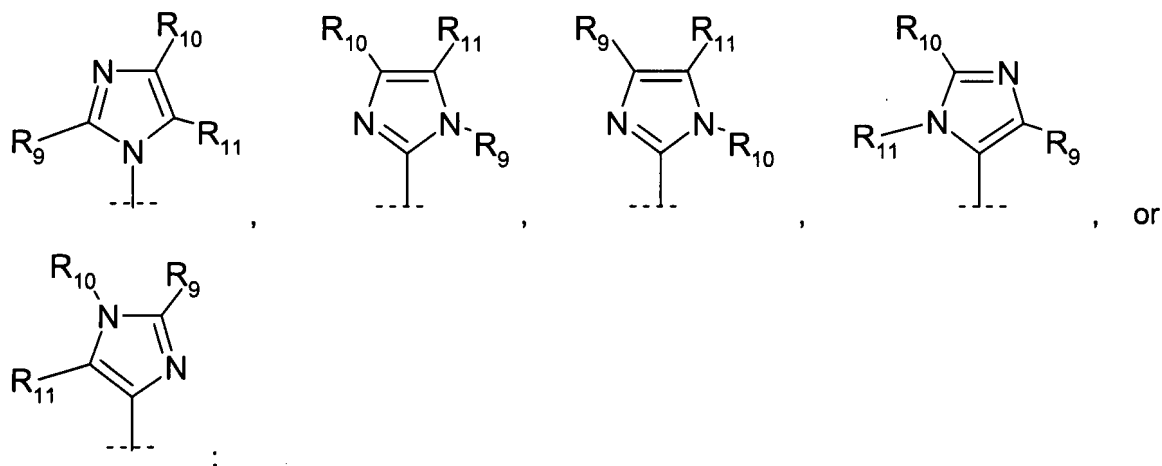


wherein,

G<sub>1</sub> comprises a direct bond;

G<sub>2</sub> comprises a group of the formula





wherein

$R_9$ ,  $R_{10}$ , and  $R_{11}$  may be hydrogen; or

$R_9$ ,  $R_{10}$ , and  $R_{11}$  independently comprise

- i)  $-C_{1-6}$  alkyl;
- ii)  $-\text{aryl}$ ;
- iii)  $-C_{1-6}$  alkylaryl;
- iv)  $-\text{C}(\text{O})-\text{O}-C_{1-6}$  alkyl;
- v)  $-\text{C}(\text{O})-\text{O}-C_{1-6}$  alkylaryl;
- vi)  $-\text{C}(\text{O})-\text{NH}-C_{1-6}$  alkyl;
- vii)  $-\text{C}(\text{O})-\text{NH}-C_{1-6}$  alkylaryl;
- viii)  $-\text{SO}_2-C_{1-6}$  alkyl;
- ix)  $-\text{SO}_2-C_{1-6}$  alkylaryl;
- x)  $-\text{SO}_2-\text{aryl}$ ;
- xi)  $-\text{SO}_2-\text{NH}-C_{1-6}$  alkyl;
- xii)  $-\text{SO}_2-\text{NH}-C_{1-6}$  alkylaryl;
- xiii)  $-\text{C}(\text{O})-C_{1-6}$  alkyl; or
- xiv)  $-\text{C}(\text{O})-C_{1-6}$  alkylaryl; or

$R_{10}$  and  $R_{11}$  may be taken together to constitute a fused cycloalkyl, fused heterocyclyl, or fused aryl ring containing the atoms to which  $R_{10}$  and  $R_{11}$  are bonded;

R<sub>1</sub> comprises H;

R<sub>2</sub> comprises

- a) -C<sub>1-6</sub> alkyl;
- b) -aryl; or
- c) -C<sub>1-6</sub> alkylaryl;

R<sub>3</sub> comprises

- a) hydrogen;
- b) -C<sub>1-6</sub> alkyl;
- c) -C<sub>1-6</sub> alkylaryl; or
- d) -C<sub>1-6</sub> alkoxyaryl;

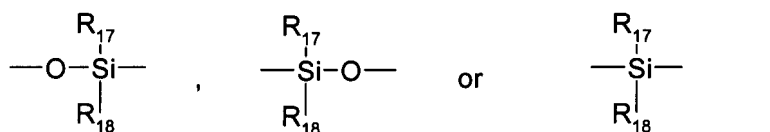
R<sub>4</sub> comprises

- a) -C<sub>1-6</sub> alkylaryl;
- b) -C<sub>1-6</sub> alkoxyaryl; or
- c) -aryl;

the aryl and/or alkyl group(s) in R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub>, R<sub>9</sub>, R<sub>10</sub>, R<sub>11</sub> may be optionally substituted 1-4 times with a substituent group, wherein said substituent group(s) or the term substituted refers to groups comprising:

- a) -H;
- b) -Y-C<sub>1-6</sub> alkyl;  
-Y-aryl;  
-Y-C<sub>1-6</sub> alkylaryl;  
-Y-C<sub>1-6</sub>-alkyl-NR<sub>14</sub>R<sub>15</sub>;  
-Y-C<sub>1-6</sub>-alkyl-W-R<sub>16</sub>;

wherein Y and W independently comprise -CH<sub>2</sub>-, -O-, -N(H)-, -S-, SO<sub>2</sub>-, -CON(H)-, -NHC(O)-, -NHCON(H)-, -NHSO<sub>2</sub>-, -SO<sub>2</sub>N(H)-, -C(O)-O-, -NHSO<sub>2</sub>NH-, -O-CO-,

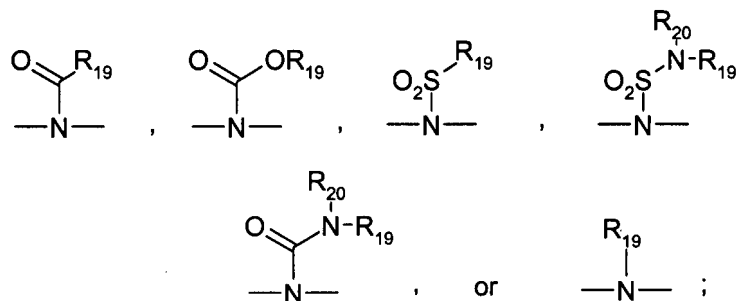


R<sub>16</sub>, R<sub>17</sub>, and R<sub>18</sub> comprise hydrogen, aryl, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkylaryl, C<sub>1</sub>-C<sub>6</sub> alkoxy, or C<sub>1</sub>-C<sub>6</sub> alkoxyaryl; or

c) halogen, hydroxyl, cyano, carbamoyl, or carboxyl; and

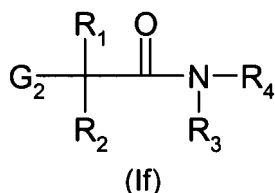
R<sub>14</sub> and R<sub>15</sub> independently comprise hydrogen, aryl, C<sub>1</sub>-C<sub>6</sub> alkyl, or C<sub>1</sub>-C<sub>6</sub> alkylaryl; and wherein

R<sub>14</sub> and R<sub>15</sub> may be taken together to form a ring having the formula -(CH<sub>2</sub>)<sub>o</sub>-Z-(CH<sub>2</sub>)<sub>p</sub>- bonded to the nitrogen atom to which R<sub>14</sub> and R<sub>15</sub> are attached, wherein o and p are, independently, 1, 2, 3, or 4; Z comprises a direct bond, -CH<sub>2</sub>-, -O-, -S-, -S(O<sub>2</sub>)-, -C(O)-, -CON(H)-, -NHC(O)-, -NHCON(H)-, -NHSO<sub>2</sub>-, -SO<sub>2</sub>N(H)-, -C(O)-O-, -O-C(O)-, -NHSO<sub>2</sub>NH-,

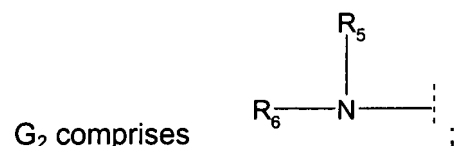


R<sub>19</sub> and R<sub>20</sub> independently comprise hydrogen, aryl, C<sub>1</sub>-C<sub>6</sub> alkyl, or C<sub>1</sub>-C<sub>6</sub> alkylaryl;

7. (Original) The compound of claim 1, represented by Formula (If):

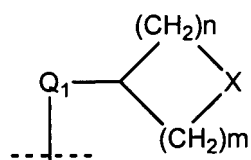


wherein,  
 $\text{G}_1$  comprises a direct bond;

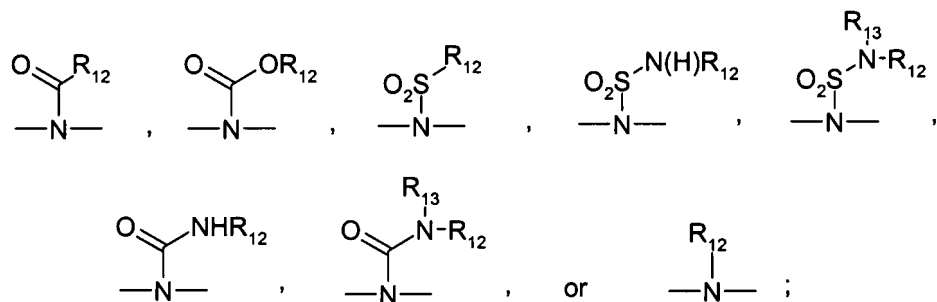


$\text{R}_1$  comprises H;

$\text{R}_2$  comprises a group of the formula



wherein  $m$  and  $n$  are independently selected from 1, 2, 3, or 4;  $\text{X}$  comprises a direct bond,  $\text{CH}_2$ -,  $-\text{O}-$ ,  $-\text{S}-$ ,  $-\text{S}(\text{O}_2)-$ ,  $-\text{C}(\text{O})-$ ,  $-\text{CON}(\text{H})-$ ,  $-\text{NHC}(\text{O})-$ ,  $-\text{NHCON}(\text{H})-$ ,  $-\text{NHSO}_2$ -,  $-\text{SO}_2\text{N}(\text{H})-$ ,  $-\text{C}(\text{O})-\text{O}-$ ,  $-\text{O}-\text{C}(\text{O})-$ ,  $-\text{NHSO}_2\text{NH}-$ ,



$-\text{Q}_1-$  comprises  $\text{C}_{1-6}$  alkylene,  $\text{C}_{2-6}$  alkenylene, or  $\text{C}_{2-6}$  alkynylene;

$\text{R}_{12}$  and  $\text{R}_{13}$  independently comprises hydrogen,  $\text{C}_1$ - $\text{C}_6$  alkyl,  $\text{C}_1$ - $\text{C}_6$  alkylaryl, or aryl;  
 and wherein

R<sub>3</sub> comprises

- a) hydrogen;
- b) -C<sub>1-6</sub> alkyl;
- c) -C<sub>1-6</sub> alkylaryl; or
- d) -C<sub>1-6</sub> alkoxyaryl;

R<sub>4</sub> comprises

- a) -C<sub>1-6</sub> alkylaryl;
- b) -C<sub>1-6</sub> alkoxyaryl; or
- c) -aryl;

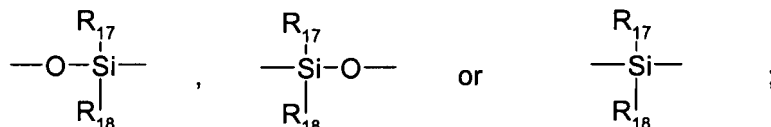
R<sub>5</sub> and R<sub>6</sub> independently comprise

- a) -H;
- b) -C<sub>1-6</sub> alkyl;
- c) -aryl;
- d) -C<sub>1-6</sub> alkylaryl; or
- e) a group selected from -C(O)R<sub>25</sub>, -C(O)OR<sub>25</sub>, -C(O)NR<sub>26</sub>R<sub>25</sub>, -S(O)<sub>2</sub>R<sub>25</sub>, and -S(O)<sub>2</sub>NR<sub>26</sub>R<sub>25</sub>; wherein R<sub>25</sub> and R<sub>26</sub> independently comprise -C<sub>1-6</sub> alkyl, aryl, and -C<sub>1-6</sub> alkylaryl;

the aryl and/or alkyl group(s) in R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub>, R<sub>12</sub>, and R<sub>13</sub> may be optionally substituted 1-4 times with a substituent group, wherein said substituent group(s) or the term substituted refers to groups comprising:

- a) -H;
- b) -Y-C<sub>1-6</sub> alkyl;
- Y-aryl;
- Y-C<sub>1-6</sub> alkylaryl;
- Y-C<sub>1-6</sub>-alkyl-NR<sub>14</sub>R<sub>15</sub>;
- Y-C<sub>1-6</sub>-alkyl-W-R<sub>16</sub>;

wherein Y and W independently comprise -CH<sub>2</sub>-, -O-, -N(H)-, -S-,  
 SO<sub>2</sub>-, -CON(H)-, -NHC(O)-, -NHCON(H)-, -NHSO<sub>2</sub>-, -  
 SO<sub>2</sub>N(H)-, -C(O)-O-, -NHSO<sub>2</sub>NH-, -O-CO-,

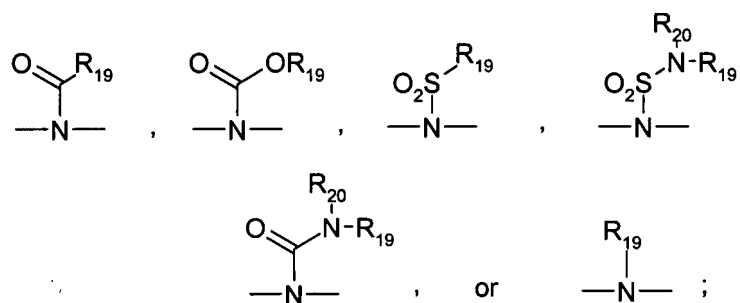


R<sub>16</sub>, R<sub>17</sub>, and R<sub>18</sub> independently comprise hydrogen, aryl, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkylaryl, C<sub>1</sub>-C<sub>6</sub> alkoxy, or C<sub>1</sub>-C<sub>6</sub> alkoxyaryl; or

c) halogen, hydroxyl, cyano, carbamoyl, or carboxyl; and

R<sub>14</sub> and R<sub>15</sub> independently comprises hydrogen, aryl, C<sub>1</sub>-C<sub>6</sub> alkyl, or C<sub>1</sub>-C<sub>6</sub> alkylaryl; and wherein

R<sub>14</sub> and R<sub>15</sub> may be taken together to form a ring having the formula -(CH<sub>2</sub>)<sub>o</sub>-Z-(CH<sub>2</sub>)<sub>p</sub>- bonded to the nitrogen atom to which R<sub>14</sub> and R<sub>15</sub> are attached, wherein o and p are, independently, 1, 2, 3, or 4; Z comprises a direct bond, -CH<sub>2</sub>-, -O-, -S-, -S(O<sub>2</sub>)-, -C(O)-, -CON(H)-, -NHC(O)-, -NHCON(H)-, -NHSO<sub>2</sub>-, -SO<sub>2</sub>N(H)-, -C(O)-O-, -O-C(O)-, -NHSO<sub>2</sub>NH-,



R<sub>19</sub> and R<sub>20</sub> independently comprise hydrogen, aryl, C<sub>1</sub>-C<sub>6</sub> alkyl, or C<sub>1</sub>-C<sub>6</sub> alkylaryl,

8. Cancelled.

9. Cancelled.